

(19) World Intellectual Property Organization
International Bureau



10/506479



(43) International Publication Date
25 September 2003 (25.09.2003)

PCT

(10) International Publication Number
WO 03/077918 A1

(51) International Patent Classification⁷: **A61K 31/4439**,
C07D 401/12

Brian, W. [CA/US]; 126 East Lincoln Avenue, Rahway,
NJ 07065-0907 (US).

(21) International Application Number: PCT/US03/07074

(74) Common Representative: **MERCK & CO., INC.**; 126
East Lincoln Avenue, Rahway, NJ 07065-0907 (US).

(22) International Filing Date: 7 March 2003 (07.03.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/363,456 12 March 2002 (12.03.2002) US

(81) Designated States (*national*): AE, AG, AL, AM, AT, AU,
AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU,
CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK,
LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX,
MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE,
SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ,
VC, VN, YU, ZA, ZM, ZW.

(71) Applicant (*for all designated States except US*): **MERCK
& CO., INC.** [US/US]; 126 East Lincoln Avenue, Rahway,
NJ 07065-0907 (US).

(84) Designated States (*regional*): ARIPO patent (GH, GM,
KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),
Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE,
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO,
SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM,
GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

(72) Inventors; and

(75) Inventors/Applicants (*for US only*): **SMITH, Nicholas,
D.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ
07065-0907 (US). **COSFORD, Nicholas, D. P.** [GB/US];
126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).
REGER, Thomas, R. [US/US]; 126 East Lincoln Av-
enue, Rahway, NJ 07065-0907 (US). **ROPPE, Jeffrey,
R.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ
07065-0907 (US). **POON, Steven, E.** [US/US]; 126 East
Lincoln Avenue, Rahway, NJ 07065-0907 (US). **HUANG,
Dehua** [CN/US]; 126 East Lincoln Avenue, Rahway, NJ
07065-0907 (US). **CHEN, Chixu** [US/US]; 126 East Lin-
coln Avenue, Rahway, NJ 07065-0907 (US). **EASTMAN,**

Published:

- with international search report
- before the expiration of the time limit for amending the
claims and to be republished in the event of receipt of
amendments

For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.

(54) Title: DI-ARYL SUBSTITUTED TETRAZOLE MODULATORS OF METABOTROPIC GLUTAMATE RECEPTOR-5

(57) Abstract: Tetrazole compounds substituted directly, or by a bridge, with i) a heteroaryl moiety containing N adjacent to the point of connection of the heteroaryl and ii) another heteroaryl or aryl ring, with at least one of the rings being further substituted with another ring, are mGluR5 modulators useful in the treatment of psychiatric and mood disorders such as, for example, schizophrenia, anxiety, depression, panic, and bipolar disorder, as well as in the treatment of pain, Parkinson's disease, cognitive dysfunction, epilepsy, circadian rhythm disorders, drug addiction, drug abuse, drug withdrawal, obesity and other diseases.



WO 03/077918 A1